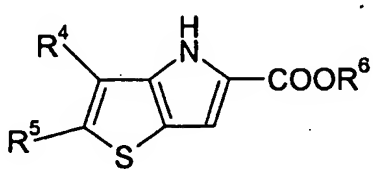
10/528,612 371

I. Process 1-3 Form I
II. Comp Form 4
III. Process-Form II 5
IV Comp Form III 6

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application.

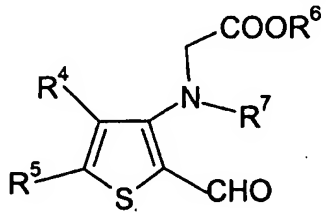
1. (currently amended) A process for preparing a compound of formula (I)



(I)

where R^4 and R^5 are independently selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, C_{1-6}alkoxy, C_{1-6}alkanoyl, C_{1-6}alkanoyloxy, *N*-(C_{1-6}alkyl)amino, *N,N*-(C_{1-6}alkyl)$_2$amino, C_{1-6}alkanoylamino, *N*-(C_{1-6}alkyl)carbamoyl, *N,N*-(C_{1-6}alkyl)$_2$carbamoyl, C_{1-6}alkylS(O)$_a$ wherein a is 0 to 2, C_{1-6}alkoxycarbonyl, C_{1-6}alkoxycarbonylamino, *N*-(C_{1-6}alkyl)sulphamoyl, *N,N*-(C_{1-6}alkyl)$_2$sulphamoyl, C_{1-6}alkylsulphonylamino and C_{1-6}alkylsulphonyl-*N*-(C_{1-6}alkyl)amino; and R^6 is hydrogen or a protecting group,

which process comprises cyclisation of a compound of formula (II)



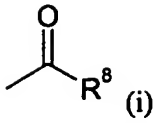
(II)

where R^4, R^5 and R^6 are as defined in relation to formula (I), and R^7 is a nitrogen protecting group[[,]]; and

removing the group R^7[[,]];

and thereafter ~~if desired,~~ optionally removing any protecting group R^6.

2. (original) A method according to claim 1 wherein R^7 is a group of sub-formula (i)



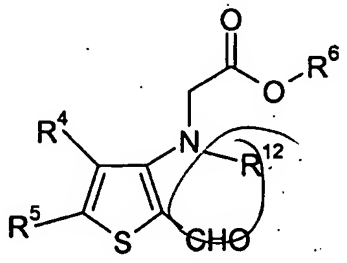
(i)

where R^8 is a straight chain alkyl group of from 1 to 6 carbon atoms.

3. (original) A process according to claim 1 or claim 2 wherein R^4 and R^5 are independently selected from hydrogen, halo, nitro, cyano, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, carboxy, carbamoyl, sulphamoyl, ureido, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, C_{1-6}alkoxy, C_{1-6}alkanoyl and C_{1-6}alkanoyloxy.

4. (original) A compound of formula (II) as defined in claim 1.

5. (original) A process for preparing a compound according to claim 4 which comprises reacting a compound of formula (III)



(III)

where R^4 and R^5 are as defined in relation to formula (I), and R^{12} is a directing nitrogen protecting group,

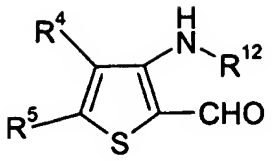
with a compound of formula (IV)

$(R^7)_2O$ (IV)

where R^7 is as defined above, under acidic conditions.

6. (original) A compound of formula (III) as defined in claim 5.

7. (original) A process for preparing a compound according to claim 6 which comprises reacting a compound of formula (V)



(V)

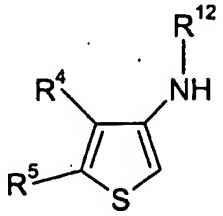
where R^4 and R^5 are as defined above in claim 1 and R^{12} is as defined in relation to formula (III), with a compound of formula (VI)

$$LCH_2COOR^6 \text{ (VI)}$$

where L is a leaving group.

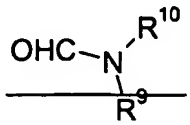
8. (original) A compound of formula (V) as defined in claim 7.

9. (currently amended) A process for preparing a compound according to claim 8 which comprises reacting a compound of formula (VII)



(VII)

where R^4 and R^5 are as defined in claim 1 and R^{12} is as defined in relation to formula (III), with a lithiating agent, ~~such as *N*-butyl lithium,~~ and subsequently with a formylating agent[[,]] ~~such as a compound of formula (VIII)~~

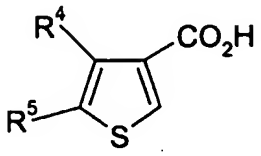


(VIII)

~~where R^9 and R^{10} are alkyl groups and in particular lower alkyl groups of 1 to 4 carbon atoms, such as methyl~~.

10. (original) A compound of formula (VII) as defined in claim 9.

11. (original) A process for preparing a compound according to claim 10 which comprises subjecting a compound of formula (IX)

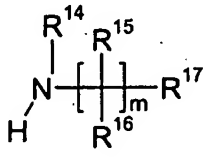


(IX)

where R^4 and R^5 are as defined above in relation to formula (I),

to a Curtius rearrangement reaction, in the presence of an alcohol of formula $R^{12}OH$ where R^{12} is as defined in claim 5.

12. (currently amended) A ~~method~~ process according to claim 1, for ~~the production of~~ preparing a compound of formula (I) where R^6 is hydrogen, wherein the method further comprises the step of reacting the compound of formula (I) obtained with an amine of formula (XIII)[[,]]



(XIII)

where R^{14} is selected from hydrogen or C_{1-8}alkyl,

m is an integer of from 0 to 4,

each R^{15} is the same or different and is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, C_{1-6}alkoxy, C_{1-6}alkanoyl, C_{1-6}alkanoyloxy, *N*-(C_{1-6}alkyl)amino, *N,N*-(C_{1-6}alkyl)$_2$amino, C_{1-6}alkanoylamino, *N*-(C_{1-6}alkyl)carbamoyl, *N,N*-(C_{1-4}alkyl)$_2$carbamoyl, C_{1-6}alkylS(O)$_a$ wherein a is 0 to 2, C_{1-6}alkoxycarbonyl, C_{1-6}alkoxycarbonylamino, *N*-(C_{1-6}alkyl)sulphamoyl, *N,N*-(C_{1-6}alkyl)$_2$sulphamoyl, C_{1-6}alkylsulphonylamino, C_{1-6}alkylsulphonyl-*N*-(C_{1-6}alkyl)amino, C_{3-8}cycloalkyl, C_{3-8}cycloalkylC_{1-6}alkyl, aryl, arylC_{1-6}alkyl, heterocyclic group and (heterocyclic group)C_{1-6}alkyl; wherein ~~R~~^{15} $\underline{R^{15}}$ may be optionally substituted on carbon by one or more

groups selected from P and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from R;

each R^{16} is the same or different and is selected from ~~is~~ hydrogen or C_{1-6}alkyl;

R^{17} is selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, C_{1-6}alkoxy, C_{1-6}alkanoyl, C_{1-6}alkanoyloxy, *N*-(C_{1-6}alkyl)amino, *N,N*-(C_{1-6}alkyl)$_2$amino, C_{1-6}alkanoylamino, *N*-(C_{1-6}alkyl)carbamoyl, *N,N*-(C_{1-4}alkyl)$_2$carbamoyl, *N*-(C_{1-6}alkyl)-*N*-(C_{1-6}alkoxy)carbamoyl, C_{1-6}alkylS(O)$_a$ wherein a is 0 to 2, C_{1-6}alkoxycarbonyl, C_{1-6}alkoxycarbonylamino, *N*-(C_{1-6}alkyl)sulphamoyl, *N,N*-(C_{1-6}alkyl)$_2$sulphamoyl, sulphamoylamino, *N*-(C_{1-6}alkyl)sulphamoylamino, *N,N*-(C_{1-6}alkyl)$_2$sulphamoylamino, C_{1-6}alkylsulphonylamino, C_{1-6}alkylsulphonylaminocarbonyl, C_{1-6}alkylsulphonyl-*N*-(C_{1-6}alkyl)amino and a group -E-F-G-H;

wherein E and G are independently selected from a direct bond, -O-, -S-, -SO-, -SO$_2$-, -OC(O)-, -C(O)O-, -C(O)-, -NR^a-, -NR^{a}C(O)-, -C(O)NR^a-, -SO$_2$NR^a-, -NR^{a}SO$_2$-, -NR^{a}C(O)NR^b-, -OC(O)NR^a-, -NR^{a}C(O)O-, -NR^{a}SO$_2$NR^b-, -SO$_2$NR^{a}C(O)- and -C(O)NR^{a}SO$_2$-; wherein R^a and R^b are independently selected from hydrogen or C_{1-6}alkyl which is optionally substituted by a group V;

F is C_{1-6}alkylene optionally substituted by one or more Q or a direct bond;

H is selected from aryl, C_{3-8}cycloalkyl and heterocyclic groups; wherein H may be optionally substituted on carbon by one or more groups selected from S and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from T;

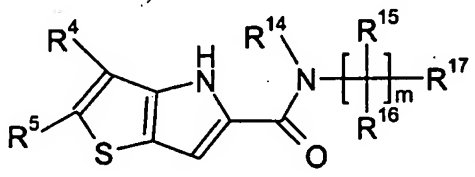
P, S and Q are independently selected from halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, C_{1-6}alkoxy, C_{1-6}alkanoyl, C_{1-6}alkanoyloxy, *N*-(C_{1-6}alkyl)amino, *N,N*-(C_{1-6}alkyl)$_2$amino, C_{1-6}alkanoylamino, *N*-(C_{1-6}alkyl)carbamoyl, *N,N*-(C_{1-6}alkyl)$_2$carbamoyl, *N*-(C_{1-6}alkyl)-*N*-(C_{1-6}alkoxy)carbamoyl, C_{1-6}alkylS(O)$_a$ wherein a is 0 to 2, C_{1-6}alkoxycarbonyl, C_{1-6}alkoxycarbonylamino, *N*-(C_{1-6}alkyl)sulphamoyl, *N,N*-(C_{1-6}alkyl)$_2$sulphamoyl, C_{1-6}alkylsulphonylamino, C_{1-6}alkylsulphonyl-*N*-(C_{1-6}alkyl)amino, C_{3-8}cycloalkyl, aryl and heterocyclic group;

wherein P, S and Q may be optionally and independently substituted on carbon by one or more groups selected from V and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from U;

V is selected from halo, nitro, cyano, hydroxy, trifluoromethoxy, trifluoromethyl, amino, carboxy, carbamoyl, mercapto, sulphamoyl, methyl, ethyl, methoxy, ethoxy, acetyl, acetoxy, methylamino, ethylamino, dimethylamino, diethylamino, *N*-methyl-*N*-ethylamino, acetylamino, *N*-methylcarbamoyl, *N*-ethylcarbamoyl, *N,N*-dimethylcarbamoyl, *N,N*-diethylcarbamoyl, *N*-methyl-*N*-ethylcarbamoyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, mesyl, ethylsulphonyl, methoxycarbonyl, ethoxycarbonyl, *N*-methylsulphamoyl, *N*-ethylsulphamoyl, *N,N*-dimethylsulphamoyl, *N,N*-diethylsulphamoyl, *N*-methyl-*N*-ethylsulphamoyl, morpholino, morpholinocarbonyl, *N*- benzylcarbamoyl, and 4-hydroxypiperidinocarbonyl;

R, T and U are independently selected from C_{1-4}alkyl, C_{1-4}alkanoyl, C_{1-4}alkylsulphonyl, C_{1-4}alkoxycarbonyl, carbamoyl, *N*-(C_{1-4}alkyl)carbamoyl, *N,N*-(C_{1-4}alkyl)carbamoyl, phenyl, benzyl, benzyloxycarbonyl, benzoyl and phenylsulphonyl wherein R, T and U may be optionally and independently substituted on carbon by one or more groups selected from V;

~~to produce~~ producing a compound of formula (XIV)

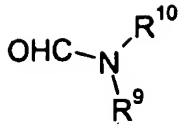


(XIV)

where R^4, R^5, R^{15}, R^{16}, R^{17} and m are as defined above,

or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester thereof.

13. (new) The process of claim 9, wherein the formylating agent is a compound of formula (VIII)



(VIII)

where R^9 and R^{10} are alkyl groups.

14. (new) The process of claim 13, wherein one or both of R^9 and R^{10} are lower alkyl groups.

15. (new) The process of claim 14, wherein one or both of R^9 and R^{10} are methyl groups